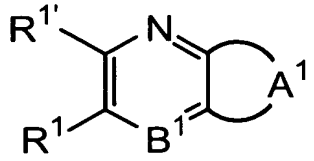
WHAT IS CLAIMED IS:

1. A compound of the general formula (I):



(I)

a prodrug, a pharmaceutically acceptable salt or a solvate thereof; wherein:

B^1 is $-C(R^2)=$ or $-N=$;

one of R^1 and R^2 is a group of the formula: $-Z^1-Z^2-Z^3-R^5$ wherein

Z^1 and Z^3 each are independently a single bond, optionally substituted alkylene or optionally substituted alkenylene;

Z^2 is a single bond, optionally substituted alkylene, optionally substituted alkenylene, -CH(OH)-, -S-, -SO-, $-SO_2-$, $-SO_2N(R^6)-$, $-N(R^6)SO_2-$, -O-, $-N(R^6)-$, $-N(R^6)CO-$, $-CON(R^6)-$, -C(=O)-O-, -O-C(=O)- or -CO-;

R^6 is hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted aryl or optionally substituted heteroaryl; and

R^5 is optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted cycloalkenyl or optionally substituted heterocycle, and

the other of R^1 and R^2 is hydrogen or a substituent selected from Substituent Group A;

$R^{1'}$ is hydrogen or a substituent selected from Substituent Group A;

$-A^1-$ is $-C(-Y)=C(-R^A)-C(-R^3)=C(-R^4)-$, $-C(-Y)=C(-R^A)-C(-R^3)=N-$, $-C(-Y)=C(-R^A)-C(=X)-N(-R^4)-$, $-C(-Y)=C(-R^A)-N=C(-R^4)-$, $-C(-Y)=C(-R^A)-C(-R^3)-C(-R^4)-$, $-C(-Y)=C(-R^A)-O-C(-R^4)-$, $-C(-Y)=C(-R^A)-C(-R^3)-O-$, $-C(-Y)=C(-R^A)-O-$ or $-C(-Y)=C(-R^A)-C(=X)-O-$ wherein

X is oxygen or sulfur;

Y is -OH, -SH or $-NH_2$;

R^A is $-C(=Z)R^7$ wherein Z is oxygen or sulfur; and R^7 is a substituent selected from Substituent Group A,

-NHOH,

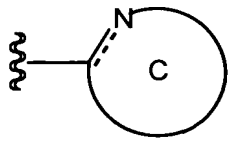
$-N=NR^{10}$ wherein R^{10} is hydrogen, alkyl, acyl, aralkyl, aryl or heteroaryl,

$-NHSO_2R^{12}$ wherein R^{12} is alkyl, aryl, aralkyl, hydroxy or amino,

$-PO(OH)_2$,

$-PO(OH)(R^{13})$ wherein R^{13} is alkyl, aryl or aralkyl, or

a group of the formula:



wherein Ring C is a nitrogen-containing heteroaromatic ring group optionally substituted by one to four of substituents selected from a group consisting of Substituent Group A and a substituent represented by the formula: $-Z^1-Z^2-Z^3-R^5$ wherein Z^1, Z^2, $Z^3$and R^5 are as defined above;

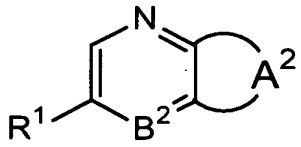
R^3 and R^4 each is independently a substituent selected from Substituent Group A or hydrogen;

Substituent Group A is a group consisting of halogen, optionally substituted alkoxycarbonyl, carboxy, optionally substituted alkyl, optionally substituted alkoxy, alkoxyalkyl, nitro, hydroxy, hydroxyalkyl, optionally substituted alkenyl, optionally substituted alkynyl, alkylsulfonyl, alkyloxysulfonyl, optionally substituted amino, optionally substituted aminosulfonyl, alkylthio, alkylthioalkyl, haloalkyl, haloalkoxy, haloalkoxyalkyl, cycloalkyl, cycloalkenyl, oxo, thioxo, alkylenedioxy, alkylene, alkenylene, nitroso, azido, amidino, guanidine, cyano, isocyano, mercapto, optionally substituted carbamoyl, optionally substituted carbamoylalkyl, optionally substituted sulfamoyl, sulfoamino, sulfo, formyl, alkylcarbonyl, alkylcarbonyloxy, hydrazino, morpholino, phosphono, phosphinico, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted heterocycle, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted heterocycleoxy, optionally substituted arylthio, optionally substituted heteroarylthio, optionally substituted aralkyloxy, optionally substituted heteroaralkyloxy, optionally substituted aralkylthio, optionally substituted heteroaralkylthio, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted arylthioalkyl,

optionally substituted heteroarylthioalkyl, optionally substituted arylsulfonyl, optionally substituted heteroarylsulfonyl, optionally substituted aralkylsulfonyl, optionally substituted heteroaralkylsulfonyl, optionally substituted alkylcarbonyl alkyl, optionally substituted arylcarbonyl alkyl, alkylsulfonyloxy, sulfamoyloxy and optionally substituted arylcarbonyl;

provided that (1) when $-A^1-$ is $-C(-Y)=C(-R^A)-C(-R^3)=C(-R^4)-$, R^A is not the following substituted carbamoyl; (2) when $-A^1-$ is $-C(-Y)=C(-R^A)-C(-R^3)=C(-R^4)-$, $R^{1'}$ is hydrogen; and (3) when $-A^1-$ is $-C(-Y)=C(-R^A)-N=C(-R^4)-$, R^A is not the following substituted carbamoyl; and that, in the substituted carbamoyl of (1) and (3), its N atom is substituted with both a group of the formula: $-L-A^3$ wherein L is a single bond or alkylene, alkenylene, cycloalkylene, alkylcycloalkylene, cycloalkylalkylene or alkyl(cycloalkyl)alkylene, each optionally substituted and/or optionally interrupted by a heteroatom, or -O(C=O)- or -C(=O)O-; A^3 is optionally substituted aryl or optionally substituted heterocycle and a group of the formula: $-R^m$ wherein R^m is a hydrogen, optionally substituted alkyl or optionally substituted phenyl at the same time, or "$-R^m$" and "$-L-A^3$" may be combined together with the adjacent N atom to form an optionally substituted heteroring.

2. A compound of the general formula (II):



(II)

a prodrug, a pharmaceutically acceptable salt or a solvate thereof;

wherein:

B^2 is $-C(R^{2'})=$ or $-N=$;

one of R^1 and $R^{2'}$ is a group of the formula: $-Z^1-Z^2-Z^3-R^5$ wherein Z^1, Z^2, Z^3 and R^5 are as defined in claim 1 and the other of R^1 and $R^{2'}$ is hydrogen;

$-A^2-$ is $-C(-Y)=C(-R^B)-C(-R^{24})=C(-R^{25})-$, $-C(-Y)=C(-R^B)-C(-R^{24})=N-$, $-C(-Y)=C(-R^B)-C(=X)-N(-R^{25})-$, $-C(-Y)=C(-R^B)-N=C(-R^{25})-$, $-C(-Y)=C(-R^B)-C(-R^{24})-C(-R^{25})-$, $-C(-Y)=C(-R^B)-O-C(-R^{25})-$, $-C(-Y)=C(-R^B)-C(-R^{24})-O-$, $-C(-Y)=C(-R^B)-O-$ or $-C(-Y)=C(-R^B)-C(=X)-O-$ wherein X and Y are as defined in claim 1;

R^B is

-C(=O)R^{26} wherein R^{26} is hydroxy, alkoxy, alkyl, optionally substituted aryl or optionally substituted heterocycleoxy,

-CON(R^8)(R^9) wherein R^8 and R^9 each is independently hydrogen, alkyl, aralkyl or acyl,

-NHOH,

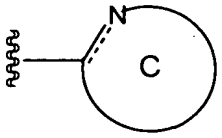
-N=NR^{10} wherein R^{10} is hydrogen, alkyl, acyl, aralkyl, aryl or heteroaryl,

-NHSO_2R^{12} wherein R^{12} is alkyl, aryl, aralkyl, hydroxy or amino,

-P$O(OH)_2$,

-PO(OH)(R^{13}) wherein R^{13} is alkyl, aryl or aralkyl, or

a group of the formula:



wherein ring C is as defined in Claim 1;

one of R^{24} and R^{25} is

carboxy,

-N(R^{14})(R^{15}) wherein R^{14} and R^{15} each is independently

hydrogen,

alkyl,

cycloalkyl,

-$(CH_2)_{1-3}OR^{16}$ wherein R^{16} is hydrogen, alkyl, acyl or aryl,

-C(=O)R^{17} wherein R^{17} is hydrogen, hydroxy, optionally substituted alkoxy, optionally substituted alkyl, haloalkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted aralkyl, optionally substituted heteroaralkyl or optionally substituted amino,

-C(=S)R^{17} wherein R^{17} is as defined above, or

-SO_2R^{21} wherein R^{21} is alkyl or optionally substituted amino) or

R^{14} and R^{15} may be combined to form optionally substituted thioamidino or R^{14} and R^{15} combined with adjacent nitrogen atom form optionally substituted nitrogen

containing heterocycle optionally having nitrogen, sulfur and/or oxygen atom in the cycle,

$-(CH_2)_{0-3}OR^{18}$ wherein R^{18} is hydrogen, alkyl, acyl or aryl,

$-(CH_2)_{1-3}CONHR^{19}$ wherein R^{19} is hydrogen, alkyl, acyl or aryl,

$-SO_3R^{20}$ wherein R^{20} is alkyl or hydroxy,

$-SO_2R^{21}$ wherein R^{21} is alkyl or optionally substituted amino,

$-PO(OH)_2$,

$-PO(OH)(R^{22})$ wherein R^{22} is alkyl,

haloalkyl,

$-(CH_2)_{1-3}COR^{23}$ wherein R^{23} is alkyl or optionally substituted aryl,

$-(CH_2)_{0-3}CN$,

$-R^{41}-COOR^{42}$ wherein R^{41} is alkenyl and R^{42} is hydrogen or alkyl,

$-(CH_2)_{1-3}R^{40}$ wherein R^{40} is optionally substituted aryl or optionally substituted heteroaryl,

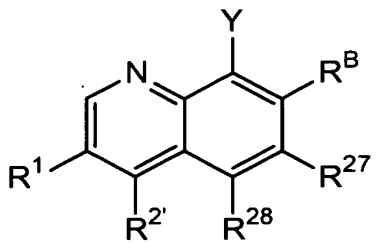
optionally substituted aryl or

optionally substituted heteroaryl; and

the other of R^{24} and R^{25} is hydrogen or heterocycle;

provided that (1) when $-A^1-$ is $-C(-Y)=C(-R^A)-C(-R^3)=C(-R^4)-$, R^A is not the following substituted carbamoyl; (2) when $-A^1-$ is $-C(-Y)=C(-R^A)-C(-R^3)=C(-R^4)-$, $R^{1'}$ is hydrogen; and (3) when $-A^1-$ is $-C(-Y)=C(-R^A)-N=C(-R^4)-$, R^A is not the folowing substituted carbamoyl; and that, in the substituted carbamoyl of (1) and (3), its N atom is substituted with both a group of the formula: $-L-A^3$ wherein L is a single bond or alkylene, alkenylene, cycloalkylene, alkylcycloalkylene, cycloalkylalkylene or alkyl(cycloalkyl)alkylene, each optionally substituted and/or optionally interrupted by a heteroatom, or -O(C=O)- or -C(=O)O-; A^3 is optionally substituted aryl or optionally substituted heterocycle and a group of the formula: $-R^m$ wherein R^m is a hydrogen, optionally substituted alkyl or optionally substituted phenyl at the same time; or "$-R^m$" and "$-L-A^3$" may be combined together with the adjacent N atom to form an optionally substituted heteroring.

3. The compound of claim 1 represented by the general formula (III):



(III)

the prodrug, the pharmaceutically acceptable salt or the solvate thereof;

wherein Y, R^8, R^1 and $R^{2'}$ are as defined in claim 2;

one of R^{27} and R^{28} is

carboxy,

$-N(R^{14})(R^{15})$ wherein R^{14} and R^{15} each is independently

hydrogen,

alkyl,

cycloalkyl,

$-(CH_2)_{1-3}OR^{16}$ wherein R^{16} is hydrogen, alkyl, acyl or aryl,

$-C(=O)R^{17}$ wherein R^{17} is hydrogen, hydroxy, optionally substituted alkoxy, optionally substituted alkyl, haloalkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted aralkyl, optionally substituted heteroaralkyl or optionally substituted amino,

$-C(=S)R^{17}$ wherein R^{17} is as defined above, or $-SO_2R^{21}$ wherein R^{21} is alkyl or optionally substituted amino,

R^{14} and R^{15} may be combined to form optionally substituted thioamidino group, or

R^{14} and R^{15} are combined together with the adjacent nitrogen to form an optionally substituted nitrogen-containing heterocycle optionally containing nitrogen, sulfur or oxygen atom in its ring,

$-(CH_2)_{0-3}OR^{18}$ wherein R^{18} is hydrogen, alkyl, acyl or aryl,

$-(CH_2)_{1-3}CONHR^{19}$ wherein R^{19} is hydrogen, alkyl, acyl or aryl,

$-SO_3R^{20}$ wherein R^{20} is alkyl or hydroxy,

$-SO_2R^{21}$ wherein R^{21} is alkyl or optionally substituted amino,

$-PO(OH)_2$,

-PO(OH)(R^{22}) wherein R^{22} is alkyl,

haloalkyl,

-$(CH_2)_{1-3}COR^{23}$ wherein R^{23} is alkyl or optionally substituted aryl,

-$(CH_2)_{0-3}CN$,

-R^{41}-$COOR^{42}$ wherein R^{41} is alkenyl and R^{42} is hydrogen or alkyl,

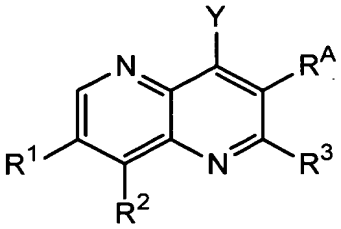
-$(CH_2)_{1-3}R^{40}$ wherein R^{40} is optionally substituted aryl or optionally substituted heteroaryl,

optionally substituted aryl or

optionally substituted heteroaryl; and

the other of R^{27} and R^{28} is hydrogen or heterocycle.

4. The compound of claim 1, represented by the general formula (IV-1):

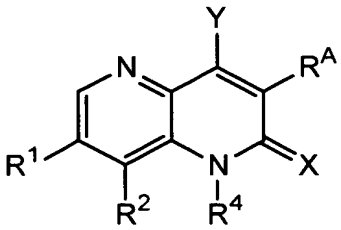


(IV-1)

the prodrug, the pharmaceutically acceptable salt or the solvate thereof;

wherein Y, R^A, R^1, R^2 and R^3 are as defined in claim 1.

5. The compound of claim 1, represented by the general formula (IV-2):

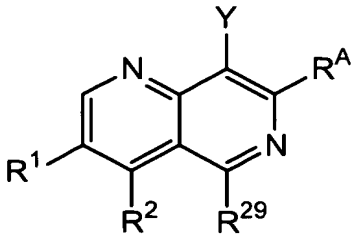


(IV-2)

the prodrug, the pharmaceutically acceptable salt or the solvate thereof;

wherein X, Y, R^A, R^1, R^2 and R^4 are as defined in claim 1.

6. The compound of claim 1, represented by the general formula (V):



(V)

the prodrug, the pharmaceutically acceptable salt or the solvate thereof;

wherein Y, R^A, R^1 and R^2 are as defined in claim 1;

R^{29} is hydrogen,

carboxy,

$-N(R^{14})(R^{15})$ wherein R^{14} and R^{15} each is independently

hydrogen,

alkyl,

cycloalkyl,

$-(CH_2)_{1-3}OR^{16}$ wherein R^{16} is hydrogen, alkyl, acyl or aryl,

$-C(=O)R^{17}$ wherein R^{17} is hydrogen, hydroxy, alkoxy, alkyl, haloalkyl, alkoxy alkyl, cycloalkyl, alkoxy carbonylmethyl, optionally substituted aryl or optionally substituted heteroaryl,

$-C(=S)R^{17}$ wherein R^{17} is as defined above,

$-SO_2R^{21}$ wherein R^{21} is alkyl, optionally substituted aryl, optionally substituted aralkyl or optionally substituted amino,

R^{14} and R^{15} may be combined together to form an optionally substituted thioamidino group, or

R^{14} and R^{15} may be combined together with the adjacent nitrogen atom to form optionally substituted nitrogen containing heterocycle optionally possessing nitrogen, sulfur and/or oxygen in its ring,

$-(CH_2)_{0-3}OR^{18}$ wherein R^{18} is hydrogen, alkyl, acyl or aryl,

$-(CH_2)_{1-3}CONHR^{19}$ wherein R^{19} is hydrogen, alkyl, acyl or aryl,

$-SO_3R^{20}$ where R^{20} is alkyl or hydroxy,

$-SO_2R^{21}$ wherein R^{21} is alkyl or optionally substituted amino,

$-PO(OH)_2$,

$-PO(OH)(R^{22})$ wherein R^{22} is alkyl,

haloalkyl,

$-(CH_2)_{1-3}COR^{23}$ wherein R^{23} is alkyl or optionally substituted aryl,

$-(CH_2)_{0-3}CN$,

$-R^{41}$-$COOR^{42}$ wherein R^{41} is alkenyl and R^{42} is hydrogen or alkyl,

$-(CH_2)_{1-3}R^{40}$ wherein R^{40} is optionally substituted aryl or optionally substituted heteroaryl,

optionally substituted aryl,

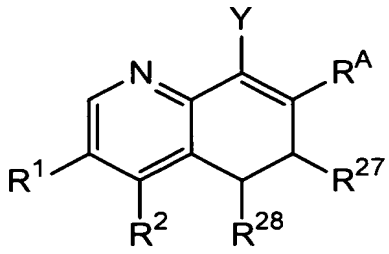
optionally substituted heteroaryl,

optionally substituted alkynyl,

optionally substituted alkylthio, or

optionally substituted alkoxy.

7. The compound of claim 1, represented by the general formula (VI):

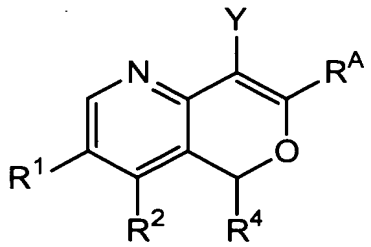


(VI)

the prodrug, the pharmaceutically acceptable salt or the solvate thereof;

wherein Y, R^A, R^1 and R^2 are as defined in claim 1; and R^{27} and R^{28} are as defined in claim 3.

8. The compound of claim 1, represented by the general formula (VII):

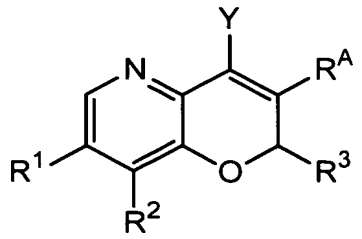


(VII)

the prodrug, the pharmaceutically acceptable salt or the solvate thereof;

wherein Y, R^A, R^1, R^2 and R^4 are as defined in claim 1.

9. The compound of Claim 1, represented by the general formula (VIII):

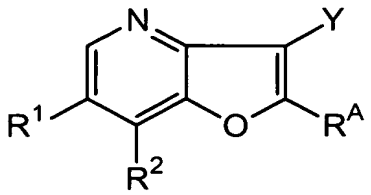


(VIII)

the prodrug, the pharmaceutically acceptable salt or the solvate thereof;

wherein Y, R^A, R^1, R^2 and R^3 are as defined in Claim 1.

10. The compound of claim 1, represented by the general formula (IX):

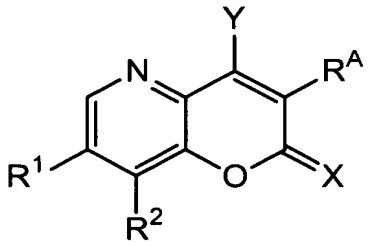


(IX)

the prodrug, the pharmaceutically acceptable salt or the solvate thereof;

wherein Y, R^A, R^1 and R^2 are as defined in claim 1.

11. The compound of claim 1, represented by the general formula (X):



(X)

the prodrug, the pharmaceutically acceptable salt or the solvate thereof;

wherein X, Y, R^A, R^1 and R^2 are as defined in claim 1.

12. The compound of claim 1, the prodrug, the pharmaceutically acceptable salt or the solvate thereof;

wherein R^3 or R^4 is

a carboxy or

-N(R^{14})(R^{15}) wherein R^{14} and R^{15} each is independently

hydrogen,

alkyl,

acyl,

-SO_2R^{21} wherein R^{21} is alkyl or optionally substituted amino, or

R^{14} and R^{15} may be combined together with the adjacent nitrogen atom to form nitrogen-containing heterocycle optionally containing sulfur in its ring.

13. The compound of claim 1, the prodrug, the pharmaceutically acceptable salt or the solvate thereof;

wherein R^3 or R^4 is

-$N(R^{14})(R^{15})$ wherein R^{14} and R^{15} each is independently

hydrogen,

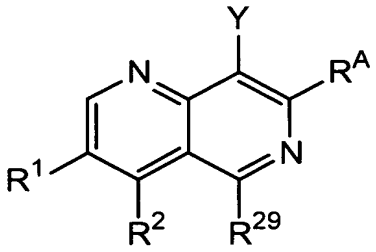
alkyl,

acyl,

-SO_2R^{21} wherein R^{21} is alkyl or optionally substituted amino, or

R^{14} and R^{15} may be combined together with the adjacent nitrogen atom to form a nitrogen-containing heterocycle optionally containing sulfur in its ring.

14. The compound of claim 1, represented by the formula:



(V)

the prodrug, the pharmaceutically acceptable salt or solvate thereof;

wherein R^1 is a group of the formula: -Z^1-Z^2-Z^3-R^5 wherein Z^1, Z^2, Z^3 and R^5 are as defined in claim 1;

R^2 is hydrogen;

R^{29} is hydrogen, halogen, optionally substituted amino, optionally substituted alkoxy, alkylsulfonyloxy, sulfamoyloxy, alkylthio, alkylsulfonyl, optionally substituted sulfamoyl, optionally substituted alkenyl; optionally substituted alkynyl, optionally substituted aryl, carboxy, alkoxycarbonyl, optionally substituted carbamoyl, acyl or optionally substituted alkyl;

R^A is a group of the formula: -C(=O)-R^7 wherein R^7 is hydroxy, optionally substituted alkoxy, optionally substituted amino, optionally substituted alkyl, optionally substituted aralkyl or optionally substituted heterocycleoxy; and

Y is hydroxy.

15. The compound of claim 14, the prodrug, the pharmaceutically acceptable salt or the solvate thereof, wherein:

R^1 is benzyl optionally substituted by halogen;

R^2 is hydrogen;

R^{29} is hydrogen, halogen, optionally substituted amino, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, carboxy, alkoxycarbonyl or optionally substituted carbamoyl;

R^A is a group of the formula: -C(=O)-R^7 wherein R^7 is

hydroxy,

optionally substituted alkoxy,

NR^8R^9 wherein R^8 and R^9 each is independently hydrogen, optionally substituted alkyl, optionally substituted alkoxy or optionally substituted amino,

optionally substituted alkyl or

optionally substituted heterocycleoxy; and

Y is hydroxy.

16. The compound of claim 14, the prodrug, the pharmaceutically acceptable salt, or the solvate thereof, wherein:

R^1 is benzyl optionally substituted by halogen;

R^2 is hydrogen;

R^{29} is hydrogen, halogen, optionally substituted amino, optionally substituted alkenyl; optionally substituted alkynyl, carboxy, alkoxycarbonyl or optionally substituted carbamoyl;

R^A is a group of the formula: -C(=O)-R^7 wherein R^7 is

hydroxy,

optionally substituted alkoxy,

NR^8R^9 wherein R^8 is hydrogen and R^9 is

hydrogen,

alkyl optionally substituted by alkoxy or

amino optionally substituted alkyl, or

optionally substituted heterocycleoxy; and

Y is hydroxy.

17. The compound of claim 14, the prodrug, the pharmaceutically acceptable salt or the solvate thereof, wherein:

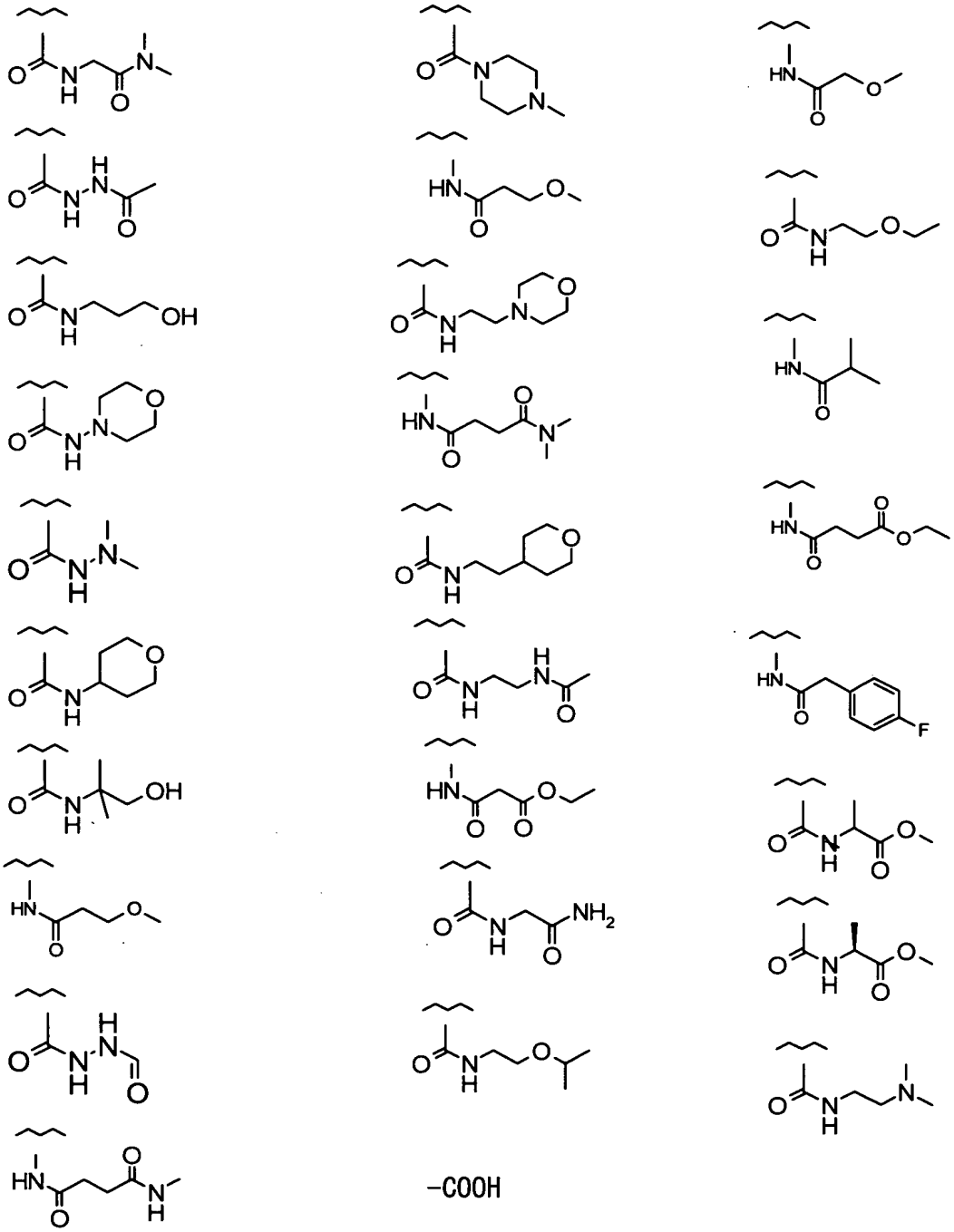
R^1 is benzyl optionally substituted by halogen;

R^2 is hydrogen;

R^A is a group of the formula: -C(=O)-R^7 wherein R^7 is hydroxy, methoxy, -NH_2, -$NHCH_2CH_2OCH_3$, -$NHOCH_3$, -$NHN(CH_3)_2$, -$NHCH_2CH_2OCH_3$, -$(CH_2)_3OCH_3$, -$O(CH_2)_3OCH_3$, -$OCH(CH_3)CH_2OCH_3$, optionally substituted piperidyloxy or optionally substituted tetrahydropyranyloxy;

Y is hydroxy; and

R^{29} is any one of the following groups:



-COOH

an optionally substituted amino selected from the group consisting of -$NHSO_2Me$, -$NHCOMe$, -$NHSO_2NMe_2$, -$NHSO_2iPr$, -$NHSO_2$-Ph-4-F, -$NHSO_2Et$, -$NHSO_2Bn$, -$NHSO_2CH_2CF_3$, -$NHSO_2CH_2CO_2Me$, -$NHSO_2CHCH_2iPr$, -$NHSO_2CHCH_2Ph$, -$NHCOCH_2CH_2OMe$, -NHCOPh, -NHCOEt, -NHCO-c-Pr, -NHCO-c-hex, -$NHCOCH_2CO_2Et$, -NHCO-2-thienyl, -NHCO-5-isoxazolyl, -$NHCONMe_2$, -$NHCO_2Et$, -$NHCOCO_2Et$, -$NHCOCH_2CH_2CO_2Me$, N-succinimide, -$NHCOCONMe_2$, -NHCO

CH_2CONMe_2, $NHCOCONH_2$, $-NHCO_2Me$, -NHCO-2-pyrimidine, -NHCO-2-furan, -NHCO-3-triazol-1-Me, $-NHCO_2iPr$, $-NHCO_2CH_2CH_2OMe$, p-toluenesulfonylamino, (2-thiazole-4-yl)acetylamino, 2-(dimethylcarbamoyl)acetylamino, thiazole-4-carbonylamino, methylaminooxazalylamino and (thiazole-5-carbonyl)amino,

an optionally substituted alkynyl selected from the group consisting of $-C\equiv CCH_2OMe$, $-C\equiv CPh$, $-C\equiv C$-N-Pr, $-C\equiv CCO_2Me$, $-C\equiv CCH_2NHAc$, $-C\equiv CCH_2NHSO_2Me$, -C≡C-c-pentyl(1-OH) and $-C\equiv CCH_2OH$,

an optionally substituted carbamoyl selected from the group consisting of -CONH-iPr, $-CONHCH_2CH_2OMe$, -CONH-N-morpholyl, -CONHNHAc, -CO-(4-Me-piperazine), -CONH-(2-thiazol), $-CONHCH_2CONMe_2$, $-CONH(CH_2)_3OCOCF_3$, $-CONEt_2$, -CO-morpholyl, $-CONHSO_2Me$, $-CONMeSO_2Me$ and $-CONHSO_2Ph$,

$-CF_3$, -COMe, -SMe, $-SO_2Me$, -OMe, $-OCH_2CO_2Me$, $-OCH_2CH_2OMe$, $-CH_2CH=CH_2$, -CN, 4-piperidinyl, $-NH_2$, hydrogen, Cl, Br, COOMe, 2-oxo-pyrrolidinyl, 2-oxopiperidyl or 4-(hydroxymethyl)phenyl.

18. The compound of claim 14, the prodrug, the pharmaceutically acceptable salt or the solvate thereof, wherein:

R^1 is a benzyl optionally substituted by halogen;

R^2 is hydrogen;

R^A is a group of the formula: $-C(=O)-R^7$ wherein R^7 is methoxy, $-NHCH_2CH_2OCH_3$, $-NH_2$, $-NHN(CH_3)_2$, $-O(CH_2)_3OCH_3$, $-OCH(CH_3)CH_2OCH_3$, optionally substituted piperidyloxy (substituent: acetyl or methanesulfonyloxy) or optionally substituted tetrahydropyranyloxy;

Y is hydroxy; and

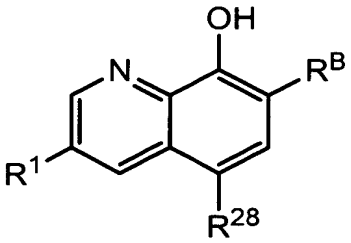
R^{29} is

an optionally substituted amino selected from the group consisting of -NHCOMe, $-NHSO_2NMe_2$, $-NHCOCH_2CH_2OMe$, -NHCOPh, $-NHCOCH_2CO_2Et$, -NHCO-2-thienyl, $-NHCO_2Et$, $-NHCOCH_2CH_2CO_2Me$, $-NHCOCONMe_2$ and $-NHCOCONH_2$),

an optionally substituted alkynyl selected from the group consisting of $-C\equiv CCH_2OMe$, $-C\equiv CCH_2NHAc$, $-C\equiv CCH_2NHSO_2Me$, -C≡C-c-pen-(1-OH) and $-C\equiv CCH_2OH$,

$-CH_2CH=CH_2$, 4-piperidyl or hydrogen.

19. The compound of claim 19, represented by the formula:



(III-1)

the pharmaceutically acceptable salt or the solvate thereof;

wherein:

R^B is $-C(=O)R^{26}$ wherein R^{26} is hydroxy, alkoxy, alkyl, alkoxyalkyl, cycloalkyl, optionally substituted aryl, optionally substituted heteroaryl or optionally substituted heterocycleoxy or

$-CON(R^8)(R^9)$ wherein R^8 and R^9 each is independently hydrogen, alkyl or alkoxy;

R^1 is a group of the formula: $-Z^2-R^5$ wherein Z^2 is optionally substituted alkylene; R^5 is optionally substituted aryl;

R^{28} is carboxy,

$-N(R^{14})(R^{15})$ wherein R^{14} and R^{15} each is independently

hydrogen,

alkyl,

cycloalkyl,

$-(CH_2)_{1-3}OR^{16}$ wherein R^{16} is hydrogen, alkyl, acyl or aryl,

$-C(=O)R^{17}$ wherein R^{17} is hydrogen, hydroxy, optionally substituted alkoxy, optionally substituted alkyl, haloalkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted aralkyl, optionally substituted heteroaralkyl or optionally substituted amino,

$-C(=S)R^{17}$ wherein R^{17} is as defined above,

$-SO_2R^{21}$ wherein R^{21} is alkyl or optionally substituted amino,

R^{14} and R^{15} may be combined to form an optionally substituted thioamidino group, or

R^{14} and R^{15} may be combined together with the adjacent nitrogen to form optionally substituted nitrogen containing heterocycle optionally having nitrogen,

sulfur and/or oxygen in its ring,

$-(CH_2)_{0-3}OR^{18}$ wherein R^{18} is hydrogen, alkyl, acyl or aryl,

$-(CH_2)_{1-3}CONHR^{19}$ wherein R^{19} is hydrogen, alkyl, acyl or aryl,

$-SO_3R^{20}$ wherein R^{20} is alkyl or hydroxy,

$-SO_2R^{21}$ wherein R^{21} is alkyl or optionally substituted amino,

$-PO(OH)_2$,

$-PO(OH)(R^{22})$ wherein R^{22} is alkyl,

haloalkyl,

$-(CH_2)_{1-3}COR^{23}$ wherein R^{23} is alkyl or optionally substituted aryl,

$-(CH_2)_{0-3}CN$,

$-R^{41}-COOR^{42}$ wherein R^{41} is alkenyl, R^{42} is hydrogen or alkyl,

$-(CH_2)_{1-3}R^{40}$ wherein R^{40} is optionally substituted aryl or optionally substituted heteroaryl,

optionally substituted alkenyl,

optionally substituted alkynyl,

optionally substituted aryl or

optionally substituted heteroaryl.

20. The compound of claim 19, the pharmaceutically acceptable salt or the solvate thereof, wherein:

R^B is $-C(=O)R^{26}$ wherein R^{26} is hydroxy, alkoxy, alkyl, alkoxyalkyl, cycloalkyl or optionally substituted heterocycleoxy;

R^1 is a group of the formula: $-Z^2-R^5$ wherein Z^2 is methylene; R^5 is phenyl optionally substituted by halogen;

R^{28} is

carboxy,

$-N(R^{14})(R^{15})$ wherein R^{14} and R^{15} each is independently

hydrogen,

alkyl,

cycloalkyl,

$-(CH_2)_{1-3}OR^{16}$ wherein R^{16} is hydrogen, alkyl, acyl or aryl,

-C(=O)R^{17} wherein R^{17} is hydrogen, hydroxy, optionally substituted alkoxy, optionally substituted alkyl, haloalkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted aralkyl, optionally substituted heteroaralkyl or optionally substituted amino,

-C(=S)R^{17} wherein R^{17} is as defined above,

-SO_2R^{21} wherein R^{21} is alkyl or optionally substituted amino,

R^{14} and R^{15} may be combined together to form optionally substituted thioamidino group, or

R^{14} and R^{15} may be combined together with the adjacent nitrogen atom to form an optionally substituted nitrogen-containing heterocycle optionally possessing sulfur and/or oxygen in its ring,

-$(CH_2)_{0-3}OR^{18}$ wherein R^{18} is hydrogen, alkyl, acyl or aryl,

-$(CH_2)_{1-3}CONHR^{19}$ wherein R^{19} is hydrogen, alkyl, acyl or aryl,

-SO_3R^{20} wherein R^{20} is alkyl or hydroxy,

-SO_2R^{21} wherein R^{21} is alkyl or optionally substituted amino,

-$PO(OH)_2$,

-PO(OH)(R^{22}) wherein R^{22} is alkyl,

haloalkyl,

-$(CH_2)_{1-3}COR^{23}$ wherein R^{23} is alkyl or optionally substituted aryl,

-$(CH_2)_{0-3}CN$,

-R^{41}-$COOR^{42}$ wherein R^{41} is alkenyl and R^{42} is hydrogen or alkyl,

-$(CH_2)_{1-3}R^{40}$ wherein R^{40} is optionally substituted aryl or optionally substituted heteroaryl,

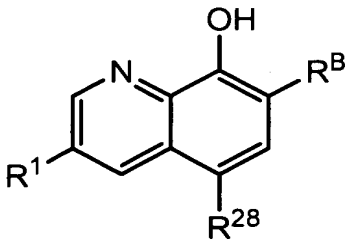
optionally substituted alkenyl,

optionally substituted alkynyl,

optionally substituted aryl or

optionally substituted heteroaryl.

21. The compound of claim 1, represented by the formula:



(III-1)

the pharmaceutically acceptable salt or the solvate thereof;

wherein:

R^B is a group of the formula: $-C(=O)R^{26}$ wherein R^{26} is hydroxy, optionally substituted alkoxy, optionally substituted alkyl, optionally substituted alkoxyalkyl, optionally substituted cycloalkyl or optionally substituted heterocycleoxy;

R^1 is a group of the formula: $-CH_2-R^5$ wherein R^5 is phenyl optionally substituted by halogen; and

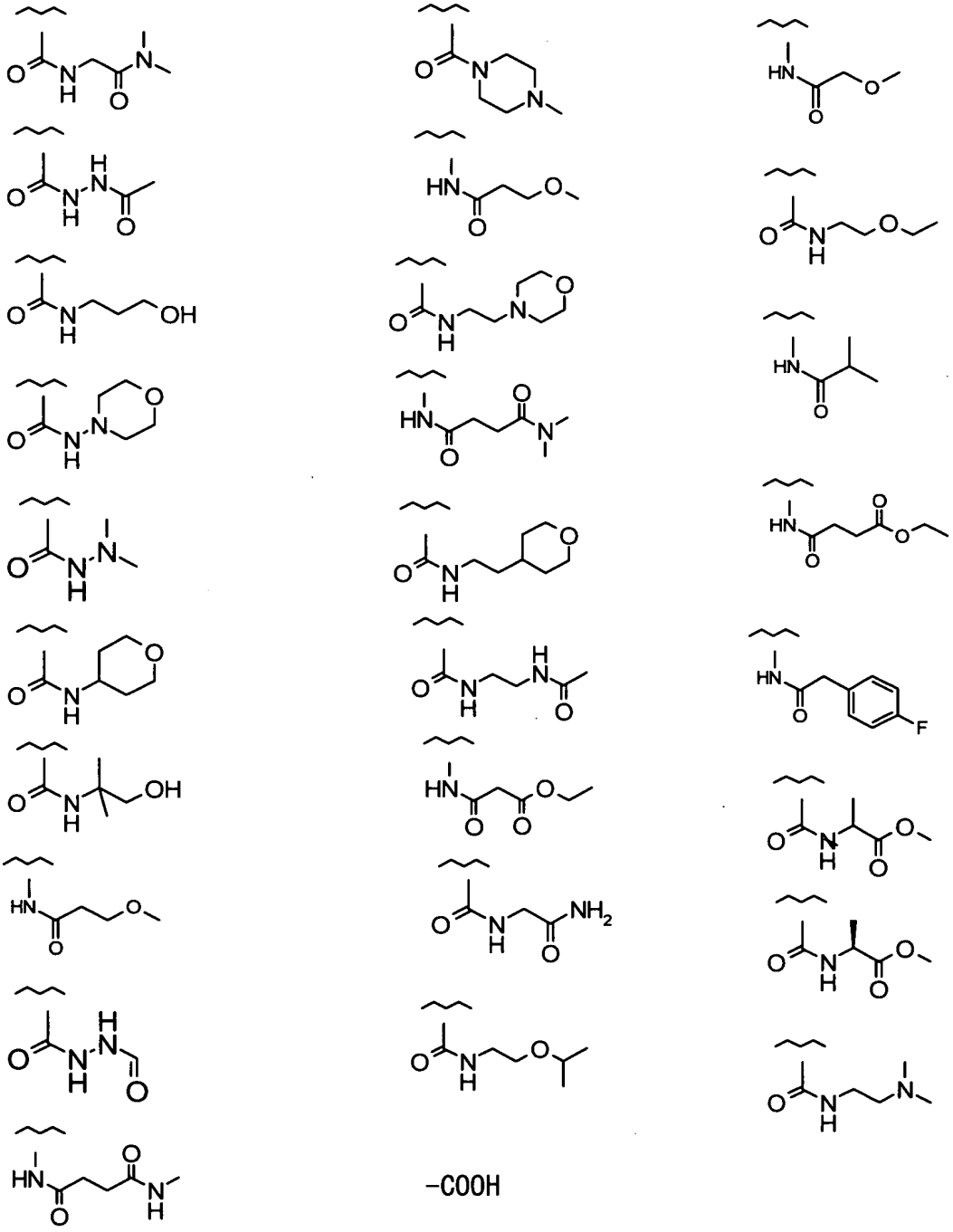
R^{28} is carboxy, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted amino, optionally substituted carbamoyl, optionally substituted acyl, optionally substituted aralkyloxycarbonyl, optionally substituted heteroring, optionally substituted (heteroring)alkyl or optionally substituted aryl.

22. The compound of claim 19, the pharmaceutically acceptable salt or the solvate thereof, wherein

R^B is a group of the formula: $-C(=O)R^{26}$ wherein R^{26} is hydroxy, alkoxy or optionally substituted heterocycleoxy;

R^1 is a group of the formula: $-CH_2-R^5$ wherein R^5 is phenyl optionally substituted by halogen; and

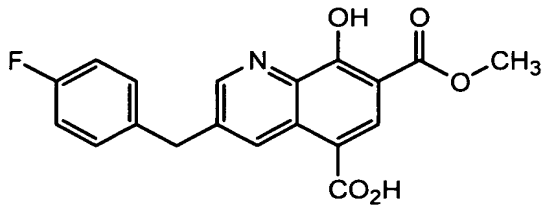
R^{28} is a group shown below:



23. The compound of claim 22, the pharmaceutically acceptable salt or the solvate thereof, wherein R^B is -C(=O)R^{26} wherein R^{26} is alkoxy.

24. The compound of claim 22, the pharmaceutically acceptable salt or the solvate thereof, wherein R^B is -C(=O)R^{26} wherein R^{26} is alkoxy and R^{28} is carboxy.

25. A compound of the formula:



(I-3)

a pharmaceutically acceptable salt or a solvate thereof.

26. The compound of the formula (I-3) of Claim 25, an alkali metal salt, alkali earth metal salt or amine salt thereof.

27. The compound of the formula (I-3) of Claim 25, a meglumine salt or solvate thereof.

28. A pharmaceutical composition comprising the compound of any one of claims 1 to 27, a prodrug, a pharmaceutically acceptable salt or a solvate thereof.

29. The pharmaceutical composition of claim 28 that is for inhibiting an enzyme.

30. The pharmaceutical composition of claim 28 that is for inhibiting a nucleic acid-related enzyme.

31. The pharmaceutical composition of claim 28 that is for inhibiting an HIV integrase.

32. The pharmaceutical composition of claim 28 that is for anti-HIV.

33. The pharmaceutical composition of claim 28 that is for preventing or treating AIDS or AIDS-related complications.

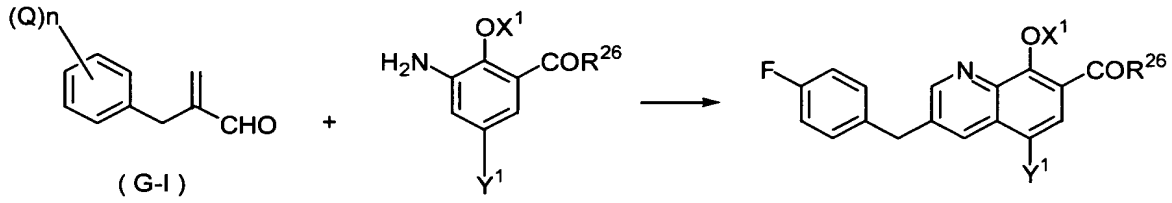
34. A mixed composition for anti-HIV comprising the pharmaceutical composition of claim 31 together with a reverse transcriptase inhibitor and/or a protease inhibitor.

35. The pharmaceutical composition of claim 31 that is for elevating an anti-HIV activity of a reverse transcriptase inhibitor and/or a protease inhibitor.

36. A method for preventing or treating AIDS or AIDS related complications, which comprises administering the pharmaceutical composition of claim 28.

37. Use of the compound of any one of claims 1 to 27 for preparing a pharmaceutical composition for preventing or treating AIDS or AIDS-related complications.

38. A process for preparing Compound (III-1-B), which comprises reacting Compound (G-I) and Compound (G-II) in the presence of an acid catalyst as represented by the following scheme:



wherein Q is halogen; n is an integer 0 to 3; X^1 is hydrogen or protective group of phenolic hydroxy; R^{26} is hydroxy, alkoxy, alkyl, alkoxyalkyl, cycloalkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted heterocycleoxy or $-N(R^8)(R^9)$ wherein R^8 and R^9 each is independently hydrogen, alkyl or alkoxy;

Y^1 is

hydrogen,

halogen,

carboxy,

alkoxycarbonyl,

optionally substituted carbamoyl,

$-N(R^{14})(R^{15})$ wherein R^{14} and R^{15} each is independently

hydrogen,

alkyl,

cycloalkyl,

$-(CH_2)_{1-3}OR^{16}$ wherein R^{16} is hydrogen, alkyl, acyl or aryl,

$-C(=O)R^{17}$ wherein R^{17} is hydrogen, hydroxy, optionally substituted alkoxy, optionally substituted alkyl, haloalkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted aralkyl, optionally substituted heteroaralkyl or optionally substituted amino,

$-C(=S)R^{17}$ wherein R^{17} is as defined above,

$-SO_2R^{21}$ wherein R^{21} is alkyl or optionally substituted amino,

R^{14} and R^{15} may be combined to form an optionally substituted thioamidino group, or

R^{14} and R^{15} may be combined together with the adjacent nitrogen to form optionally substituted nitrogen containing heterocycle optionally possessing nitrogen, sulfur and/or oxygen in its ring,

$-(CH_2)_{0-3}OR^{18}$ wherein R^{18} is hydrogen, alkyl, acyl or aryl,

$-(CH_2)_{1-3}CONHR^{19}$ wherein R^{19} is hydrogen, alkyl, acyl or aryl,

$-SO_3R^{20}$ wherein R^{20} is alkyl or hydroxy,

$-SO_2R^{21}$ wherein R^{21} is alkyl or optionally substituted amino,

$-PO(OH)_2$,

$-PO(OH)(R^{22})$ wherein R^{22} is alkyl,

haloalkyl,

$-(CH_2)_{1-3}COR^{23}$ wherein R^{23} is alkyl or optionally substituted aryl,

$-(CH_2)_{0-3}CN$,

$-R^{41}-COOR^{42}$ wherein R^{41} is alkenyl and R^{42} is hydrogen or alkyl,

$-(CH_2)_{1-3}R^{40}$ wherein R^{40} is optionally substituted aryl or optionally substituted heteroaryl,

optionally substituted aryl or

optionally substituted heteroaryl.

39. The process of claim 38, wherein (Q)n is F; R^{26} is alkoxy; Y^1 is hydrogen, halogen, carboxy or alkoxycarbonyl; and X^1 is an ether type protecting group or an ester type protecting group.

40. The process of claim 38, wherein (Q)n is p-F; R^{26} is methoxy; Y^1 is hydrogen, halogen, carboxy or methoxycarbonyl; X^1 is hydrogen, alkyl or aralkyl.

41. The process of claim 38, in which the reaction is carried out in the presence of an acid catalyst and an oxidizing reagent.